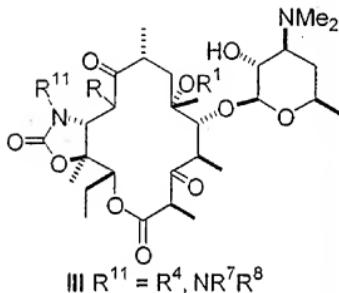


IN THE CLAIMS

1-5. (Cancelled)

6. (Currently Amended) A 10-desmethyl macrolide consisting of comprising formula III:

(1) R is methyl substituted with one or more substituents selected from the group consisting of

- (i) CN,
- (ii) F,
- (iii)  $CO_2R^3$  wherein  $R^3$  is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl or aryl substituted C<sub>1</sub>-C<sub>3</sub>-alkyl, or heteroaryl substituted C<sub>1</sub>-C<sub>3</sub>-alkyl,
- (iv) OR<sup>4</sup> wherein R<sup>4</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or aryl substituted C<sub>1</sub>-C<sub>4</sub>-alkyl, or heteroaryl substituted C<sub>1</sub>-C<sub>4</sub>-alkyl, heterocycloalkyl and optionally substituted cycloalkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyl or aryl substituted C<sub>2</sub>-C<sub>4</sub>-alkenyl, or heteroaryl substituted C<sub>2</sub>-C<sub>4</sub>-alkenyl, heterocycloalkyl and optionally substituted cycloalkyl, aryl or optionally substituted aryl, heteroaryl or optionally substituted heteroaryl,
- (v) S(O)<sub>n</sub>R<sup>3</sup> wherein n = 0, 1 or 2 and R<sup>3</sup> is as previously defined
- (vi) NR<sup>4</sup>C(O)R<sup>3</sup> wherein R<sup>3</sup> and R<sup>4</sup> are as previously defined
- (vii) NR<sup>4</sup>C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>4</sup> is defined as defined previously, and R<sup>5</sup> and R<sup>6</sup> are independently selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub> alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl
- (viii) NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of
  - (a) hydrogen
  - (b) C<sub>1</sub>-C<sub>12</sub>-alkyl, and optionally substituted C<sub>1</sub>-C<sub>12</sub>-alkyl
  - (c) C<sub>2</sub>-C<sub>12</sub>-alkenyl, and optionally substituted C<sub>2</sub>-C<sub>12</sub>-alkenyl
  - (d) C<sub>2</sub>-C<sub>12</sub>-alkynyl, and optionally substituted C<sub>2</sub>-C<sub>12</sub>-alkynyl

- (e) aryl, and optionally substituted aryl
- (f) heteroaryl, and optionally substituted heteroaryl
- (g) heterocycloalkyl, and optionally substituted heterocycloalkyl
- (h) C<sub>1</sub>-C<sub>12</sub> alkyl substituted with aryl, and optionally substituted with substituted aryl
- (i) C<sub>1</sub>-C<sub>12</sub> alkyl substituted with heteroaryl, and optionally substituted with substituted heteroaryl
- (j) C<sub>1</sub>-C<sub>12</sub> alkyl substituted with heterocycloalkyl, and with optionally substituted heterocycloalkyl, and
- (k) R<sup>7</sup> and R<sup>8</sup> taken together with the atom to which they are attached from a 3-10- membered heterocycloalkyl ring which may contain one or more additional heteroatoms and may be substituted with one or more substituents independently selected from the group consisting of
  - (aa) halogen, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkoxy, oxo, C<sub>1</sub>-C<sub>3</sub>-alkyl, aryl and optionally substituted aryl, heteroaryl and optional substituted heteroaryl
  - (bb) CO<sub>2</sub>R<sup>3</sup> wherein R<sup>3</sup> is as previously defined, and
  - (cc) C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>5</sup> and R<sup>6</sup> are as previously defined,
- (ix) aryl, and optionally substituted aryl, and
- (x) heteroaryl, and optionally substituted heteroaryl,
- (2) C<sub>2</sub>-C<sub>10</sub>-alkyl,
- (3) C<sub>2</sub>-C<sub>10</sub>-alkyl substituted with one or more substituents selected from the group consisting of
  - (i) halogen,
  - (ii) OR<sup>4</sup> wherein R<sup>4</sup> is as defined previously
  - (iii)-CHO,
  - (iv) o xo,
  - (v) (v) NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are defined as previously
  - (vi) =N-O-R<sup>4</sup> is wherein R<sup>3</sup> is as previously defined
  - (vii)-CN
  - (viii)-S(O)<sub>n</sub>R<sup>3</sup> wherein n = 0, 1 or 2 and R<sup>3</sup> is as previously defined
  - (ix) aryl, and optionally substituted aryl
  - (x) heteroaryl, and optionally substituted heteroaryl
  - (xi) C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, and optionally substituted C<sub>3</sub>-C<sub>8</sub>-cycloalkyl
  - (xii) heterocycloalkyl, and optionally substituted heterocycloalkyl
  - (xiii) NR<sup>4</sup>C(O)R<sup>3</sup> where R<sup>3</sup> and R<sup>4</sup> are as previously defined
  - (xiv) NR<sup>4</sup>C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as previously defined
  - (xv) =N-NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined
  - (xvi)=N-R<sup>4</sup> wherein R<sup>4</sup> is as previously defined
  - (xvii)=N-NR<sup>4</sup>C(O)R<sup>3</sup> wherein R<sup>3</sup> and R<sup>4</sup> are as previously defined, and

(xviii)=N-NR<sup>4</sup>C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as previously defined,

(4) C<sub>2</sub>-C<sub>10</sub>-alkenyl,

(5) C<sub>2</sub>-C<sub>10</sub>-alkenyl substituted with one or more substituents selected from the group consisting of

(i) halogen,

(ii) OR<sup>4</sup> wherein R<sup>4</sup> is as previously defined

(iii) O-S(O)<sub>n</sub>R<sup>3</sup> where n and R<sup>3</sup> are as previously defined

(iv)-CHO,

(v) oxo,

(vi)-CO<sub>2</sub>R<sup>3</sup> where R<sup>3</sup> is as previously defined

(vii)-C(O)-R<sup>4</sup> where R<sup>4</sup> is as previously defined

(viii) -CN

(ix) aryl, and optionally substituted aryl

(x) heteroaryl, and optionally substituted heteroaryl

(xi) C<sub>3</sub>-C<sub>7</sub>-cycloalkyl

(xii) C<sub>1</sub>-C<sub>12</sub>-alkyl substituted with heteroaryl

(xiii)NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined

(xiv) NR<sup>4</sup>C(O)R<sup>3</sup> where R<sup>3</sup> and R<sup>4</sup> are as previously defined

(xv) NR<sup>4</sup>C(O)NR<sup>5</sup>R<sup>6</sup> where R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as previously defined

(xvi)=N-O-R<sup>4</sup> where R<sup>4</sup> is as previously defined

(xvii)=N-NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined

(xviii)=N-NR<sup>4</sup> wherein R<sup>4</sup> is as previously defined

(xix)=N-NR<sup>4</sup>C(O)R<sup>3</sup> wherein R<sup>3</sup> and R<sup>4</sup> are as previously defined, and

(xx)=N-NR<sup>4</sup>C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as previously defined,

(6) C<sub>2</sub>-C<sub>10</sub>-alkynyl

(7) C<sub>2</sub>-C<sub>10</sub>-alkynyl substituted with one or more substituents selected from the group consisting of

(i) trialkylsilyl

(ii) halogen,

(iii) -CN

(iv) OR<sup>4</sup> where R<sup>4</sup> is defined as previously

(v)-CHO,

(vi) oxo,

(vii)-CO<sub>2</sub>R<sup>3</sup> where R<sup>3</sup> is as previously defined

(viii)-C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>5</sup> and R<sup>6</sup> are as previously defined

(ix)NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined

- (x)  $O-S(O)_nR^3$  where n and  $R^3$  are as previously defined
- (xi)  $C_3-C_7$ -cycloalkyl
- (xii)  $C_1-C_{12}$ -alkyl substituted with heteroaryl
- (xiii) aryl, and optionally substituted aryl
- (xiv) heteroaryl, and optionally substituted heteroaryl
- (xv)  $NR^4C(O)R^3$  where  $R^3$  and  $R^4$  are as previously defined
- (xvi)  $NR^4C(O)NR^5R^6$  where  $R^4$ ,  $R^5$  and  $R^6$  are as previously defined
- (xvii)  $=N-O-R^4$  where  $R^4$  is as previously defined
- (xviii)  $=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
- (xix)  $=N-NR^4C(O)R^3$  wherein  $R^3$  and  $R^4$  are as previously defined, and
- (xx)  $=N-NR^4C(O)NR^5R^6$  wherein  $R^4$ ,  $R^5$  and  $R^6$  are as previously defined,

(8) cyclic substituents selected from the group consisting of

- (i) aryl, and optionally substituted aryl
- (ii) heteroaryl, and optionally substituted heteroaryl
- (iii) heterocycloalkyl, and optionally substituted heterocycloalkyl, and
- (iv)  $C_3-C_7$ -cycloalkyl, and optionally substituted  $C_3-C_7$ -cycloalkyl, and

(9)  $C_1$  substituents with the exception of 10-methyl derivatives which are part of the above definitions under (1)

- (i) -CHO
- (ii) -CN
- (iii)  $CO_2R^3$  wherein  $R^3$  is as previously defined
- (iv)  $C(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
- (v)  $C(S)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
- (vi)  $C(NR^4)NR^5R^6$  wherein  $R^4$ ,  $N^5$  and  $R^6$  are as previously defined
- (vii) (vii)  $CH=N-O-R^4$  wherein  $R^4$  is as previously defined
- (viii)  $CH=N-R^4$  is wherein  $R^4$  is as previously defined
- (ix)  $CH=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
- (x)  $CH=N-NR^4C(O)R^3$  wherein  $R^3$  and  $R^4$  are as previously defined, and
- (xi)  $CH=N-NR^4C(O)NR^5R^6$  wherein  $R^4$ ,  $R^5$  and  $R^6$  are as previously defined;

$R^1$  is selected from the group consisting of

- (1) H
- (2) methyl
- (3) methyl substituted with one or more substituents selected from the group consisting of
  - (i) F
  - (ii) -CN
- (iii)  $-CO_2R^{11}$  where  $R^{11}$  is  $C_1-C_3$ -alkyl or aryl substituted  $C_1-C_3$ -alkyl, or heteroalkyl substituted

C<sub>1</sub>-C<sub>3</sub>-alkyl

- (iv) -C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>5</sup> and R<sup>6</sup> are defined as previously
- (v) aryl, and optionally substituted aryl, and
- (vi) heteroaryl, and optionally substituted heteroaryl
- (4) C<sub>2</sub>-C<sub>10</sub>-alkyl
- (5) substituted C<sub>2</sub>-C<sub>10</sub>-alkyl with one or more substituents selected from the group consisting of
  - (i) halogen,
  - (ii) OR<sup>4</sup> where R<sup>4</sup> is defined as previously
  - (iii) C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkoxy
  - (iv)-CHO
  - (v) oxo
  - (vi)NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined
  - (vii) =N-O-R<sup>4</sup> where R<sup>4</sup> is as previously defined
  - (viii) -CN
  - (ix) -S(O)<sub>n</sub>R<sup>3</sup> where n = 0, 1, or 2 and R<sup>3</sup> is as previously defined
  - (x)aryl, and optionally substituted aryl
  - (xi) heteroaryl, and optionally substituted heteroaryl
  - (xii) C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, and optionally substituted C<sub>3</sub>-C<sub>8</sub>-cycloalkyl
  - (xiii) C<sub>1</sub>-C<sub>12</sub>-alkyl substituted with heteroaryl, and optionally substituted heteroaryl
  - (xiv) heterocycloalkyl
  - (xv) NHC(O)R<sup>3</sup> where R<sup>3</sup> is as previously defined
  - (xvi) NHC(O)NR<sup>5</sup>R<sup>6</sup> where R<sup>5</sup> and R<sup>6</sup> are as previously defined
  - (xvii)=N-NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined
  - (xviii)=N-R<sup>4</sup> wherein R<sup>4</sup> as previously defined, and
  - (xix)=N-NHC(O)R<sup>3</sup> wherein R<sup>3</sup> is as previously defined,
- (4) C<sub>1</sub>-C<sub>10</sub>-alkenyl substituted with one or more substituents selected from the group consisting of
  - (i) halogen,
  - (ii) OR<sup>4</sup> where R<sup>4</sup> is as previously defined
  - (iii)-CHO
  - (iv) oxo
  - (v) -S(O)<sub>n</sub>R<sup>3</sup> where n and R<sup>3</sup> are as previously defined
  - (vi) -CN
  - (vii) -CO<sub>2</sub>R<sup>3</sup> where R<sup>3</sup> is as previously defined
  - (viii)NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined
  - (ix) =N-O-R<sup>4</sup> where R<sup>4</sup> is as previously defined

- (x)  $-C(O)-R^4$  where  $R^4$  is as previously defined
- (xi)  $-C(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
- (xii) aryl, and optionally substituted aryl
- (xiii) heteroaryl, and optionally substituted heteroaryl
- (xiv)  $C_3-C_7$ -cycloalkyl
- (xv)  $C_1-C_{12}$ -alkyl substituted with heteroaryl
- (xvi)  $NHC(O)R^3$  where  $R^3$  is as previously defined
- (xvii)  $NHC(O)NR^5R^6$  where  $R^5$  and  $R^6$  are as previously defined
- (xviii)  $=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
- (xix)  $=N-R^4$  wherein  $R^4$  is as previously defined,
- (xx)  $=N-NHC(O)R^3$  wherein  $R^3$  is as previously defined, and
- (xxi)  $=N-NHC(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined,
- (5)  $C_2-C_{10}$ -alkynyl, and
- (6)  $C_2-C_{10}$ -alkynyl substituted with one or more substituents selected from the group consisting of
  - (i) halogen,
  - (ii)  $OR^4$  where  $R^4$  is defined as previously
  - (iii)-CHO
  - (iv) oxo
  - (v)  $-CO_2R^3$  where  $R^3$  is as previously defined
  - (vi)  $-C(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
  - (vii) -CN
  - (viii)  $NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
  - (ix)  $=N-O-R^4$  where  $R^4$  is as previously defined
  - (x)  $-S(O)_nR^3$  where  $n$  and  $R^3$  are as previously defined
  - (xi) aryl, and optionally substituted aryl
  - (xii) heteroaryl, and optionally substituted heteroaryl
  - (xiii)  $C_3-C_7$ -cycloalkyl
  - (xiv)  $C_1-C_{12}$ -alkyl substituted with heteroaryl
  - (xv)  $NHC(O)R^3$  where  $R^3$  is as previously defined
  - (xvi)  $NHC(O)NR^5R^6$  where  $R^5$  and  $R^6$  are as previously defined
  - (xvii)  $=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
  - (xviii)  $=N-R^4$  wherein  $R^4$  is as previously defined
  - (xix)  $=N-NHC(O)R^3$  wherein  $R^3$  is as previously defined, and
  - (xx)  $=N-NHC(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined;

$R^2$  is selected from the group consisting of

- (1) hydrogen
- (2) OH
- (3) OR<sup>3</sup> where R<sup>3</sup> is as previously defined
- (4) OC(O)R<sup>3</sup> where R<sup>3</sup> is as previously defined, and
- (5) O(CO)OR<sup>3</sup> where R<sup>3</sup> is as previously defined;

and X and Y taken together are selected from the group consisting of

- (1) O
- (2) NOR<sup>4</sup> wherein R<sup>4</sup> is as defined previously
- (3) N-O C(R<sup>9</sup>)(CR<sup>10</sup>)-O-R<sup>4</sup> where R<sup>4</sup> is as previously defined and
- (i) R<sup>9</sup> and R<sup>10</sup> are each independently defined as R<sup>4</sup>, or
- (ii) R<sup>9</sup> and R<sup>10</sup> are taken together with the atom to which they are attached form a C<sub>3</sub>-C<sub>12</sub> cycloalkyl ring,
- (4) NR<sup>4</sup> wherein R<sup>4</sup> is as previously defined, and
- (5) N-NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined, or one of X and Y is hydrogen and the other is selected from the group consisting of

- (1) -OR<sup>4</sup> wherein R<sup>4</sup> is as previously defined, and
- (2) -NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined.

R<sup>P</sup> is selected from the group consisting of

- (1) hydrogen
- (2) R<sup>3</sup> as previously defined
- (3) COR<sup>3</sup> where R<sup>3</sup> is as previously defined;

subject to the proviso that when the structure is IV, Z and M are part of a five- or six- membered ring, said rings optionally being fully or partially unsaturated; for the six- membered ring, the bonding between Z and M is through a carbonyl group; for the five- membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or N; and when M = N a second bridge may exist between this nitrogen and the oxygen of the 12-OH group whereby either an additional annulated oxazole or oxazine ring constitutes part of the molecule; and subject to the proviso that when the structure is V, Z and M are part of a five- or six- membered ring, said rings optionally being fully saturated or fully or partially unsaturated; for the six- membered ring, the bonding between Z and M is through a carbonyl group; for the five- membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or nitrogen; and when M = N a second bridge may exist between this nitrogen and the urethane nitrogen;

wherein aryl groups have 5 to 10 ring atoms, and heteroaryl groups have 5 to 10 ring atoms including C and at least one of N, O or S.

7. (Previously Presented) A pharmaceutical composition comprising an antibiotic 10-desmethyl macrolide of claim 6 and a pharmaceutical excipient.
8. (Cancelled)
9. (Previously Presented) A method of treatment of a human or animal subject to combat bacterial infection thereof, which method comprises administering to said subject an antibiotic 10-desmethyl macrolide of claim 6.
10. (Cancelled)